

## SEARCH REQUEST FORM

5-555✓  
medRequestor's  
Name:

Mark Clark

Serial

Number:

08/537 843

Date:

5/20/97

Phone:

308-4550

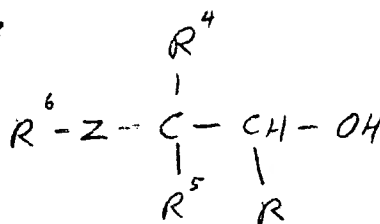
Art Unit:

1209

## Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).

Compounds:


 $R = -CHO$   
 $-COO-$ 
 $R^4 = \text{phenyl, naphthyl, etc.}$  $R^5 = H$ 

Alk (-/-/≡/cyclo)

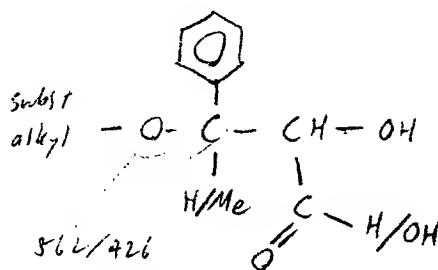
Al

 $R^6 = \text{Alk (-/-/≡/cyclo)}$  $Z = S, O$ 

Proviso:

 $R^6$  may not be unsubstituted alkyl when —
 $\left[ \begin{array}{l} R^4 = \text{phenyl or 4-i-Bu-phenyl} \\ Z = O \\ R^5 = H \text{ or Me} \end{array} \right.$ 

Simplest structure:



Bibliography:

5-568/41

125 S:

1496 O:

1470

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(See full definitions for  $R^4, R^5, R^6$ , attached)

p. 25

549/ furfural

546/ thianthrene

543/ pyridine

543/ thiazole

543/ isoxazole

543/ imidazole

543/ pyrazole

543/ triazole

543/ tetrazole

543/ pentazole

543/ hexazole

543/ heptazole

543/ octazole

543/ nonazole

543/ decazole

543/ undecazole

543/ dodecazole

543/ tridecazole

543/ tetradecazole

543/ pentadecazole

543/ hexadecazole

543/ heptadecazole

543/ octadecazole

543/ nonadecazole

543/ eicosazole

543/ heneicosazole

543/ docosazole

50 1470, 499

58 179

6 N 1340, 341

55 N 1,3-1204

50 N 1,2-1248

5 NN 1,3-1341.5

5 NN 1,2-1576.1

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5 NN 1,2-1576.1

Fore of file attached.

q. 1: 544/

p. 13

## STAFF USE ONLY

4

Date completed:

5-22-97.

Searcher:

Alex

Terminal time:

Elapsed time:

CPU time:

Total time:

Number of Searches:

Number of Databases:

Search Site

STIC

CM-1

Pre-S

Type of Search

N.A. Sequence

A.A. Sequence

Structure

Bibliographic

Vendors

IG Suite

STN

Dialog

APS

Geninfo

SDC

DARC/Questel

Other

Clardy 08/537,843

=> d his

(FILE 'HCAPLUS' ENTERED AT 09:49:52 ON 22 MAY 1997)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997  
ACT CLARDY/A

-----  
L1 STR  
L2 SCR 1701 OR 1192  
L3 SCR 1700 AND 497 AND 1834 AND 2005 AND 1838  
L4 22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2  
-----

FILE 'HCAPLUS' ENTERED AT 09:50:46 ON 22 MAY 1997  
L5 18 S L4

FILE 'CAOLD' ENTERED AT 09:50:53 ON 22 MAY 1997  
L6 3 S L4

FILE 'REGISTRY' ENTERED AT 09:51:01 ON 22 MAY 1997

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:51:12 ON 22 MAY 1997  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 1997 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 16 MAY 97 HIGHEST RN 189123-98-6  
DICTIONARY FILE UPDATES: 21 MAY 97 HIGHEST RN 189123-98-6

TSCA INFORMATION NOW CURRENT THROUGH DECEMBER 1996

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

=> d his 11-14

(FILE 'HCAPLUS' ENTERED AT 09:49:52 ON 22 MAY 1997)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997  
ACT CLARDY/A

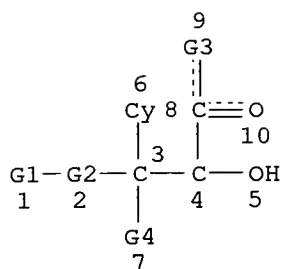
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L1 STR  
L2 SCR 1701 OR 1192  
L3 SCR 1700 AND 497 AND 1834 AND 2005 AND 1838  
L4 22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2

=> d que stat 14

L1 STR

o

Clardy 08/537,843



VAR G1=AK/CB  
VAR G2=O/S  
VAR G3=OH/H  
VAR G4=H/C/CB  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY AT 6  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE  
L2 SCR 1701 OR 1192  
L3 SCR 1700 AND 497 AND 1834 AND 2005 AND 1838  
L4 22 SEA FILE=REGISTRY SSS FUL L1 AND L3 AND L2

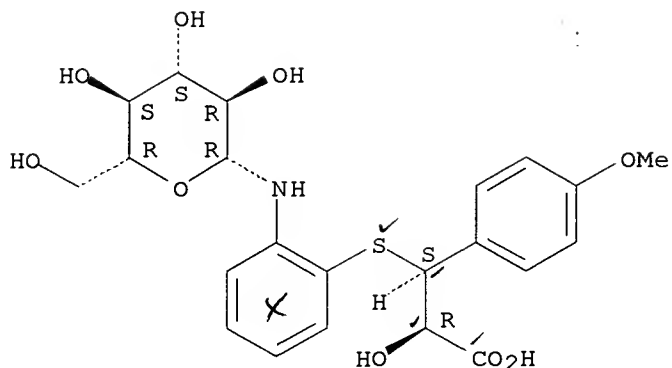
100.0% PROCESSED 81743 ITERATIONS 22 ANSWERS  
SEARCH TIME: 00.01.22

=> d ide can l4 1-22

L4 ANSWER 1 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 161023-70-7 REGISTRY  
CN Benzenepropanoic acid, .beta.-[[2-(.beta.-D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, monosodium salt, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C22 H27 N O9 S . Na  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

Clardy 08/537,843



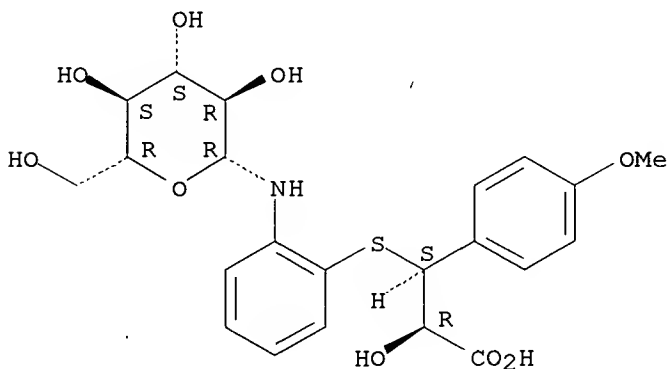
● Na

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:160270

L4 ANSWER 2 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 161023-68-3 REGISTRY  
CN Benzenepropanoic acid, .beta.-[[2-(.beta.-D-glucopyranosylamino)phenyl]thio]-.alpha.-hydroxy-4-methoxy-, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C22 H27 N O9 S  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

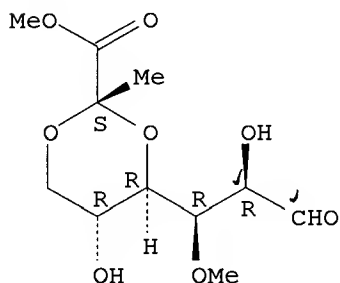


1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:160270

L4 ANSWER 3 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 156626-51-6 REGISTRY  
 CN D-Glucose, 4,6-O-(2-methoxy-1-methyl-2-oxoethylidene)-3-O-methyl-,  
 (S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C11 H18 O8  
 SR CA  
 LC STN Files: CA, CAPLUS

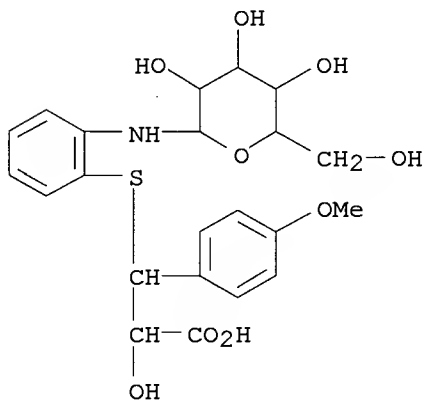
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 121:109442

L4 ANSWER 4 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 147511-68-0 REGISTRY  
 CN Benzenepropanoic acid, .beta.-[[2-(D-glucopyranosylamino)phenyl]thio]  
 ]-.alpha.-hydroxy-4-methoxy-, monosodium salt, [S-(R\*,R\*)]- (9CI)  
 (CA INDEX NAME)  
 MF C22 H27 N O9 S . Na  
 SR CA  
 LC STN Files: CA, CAPLUS

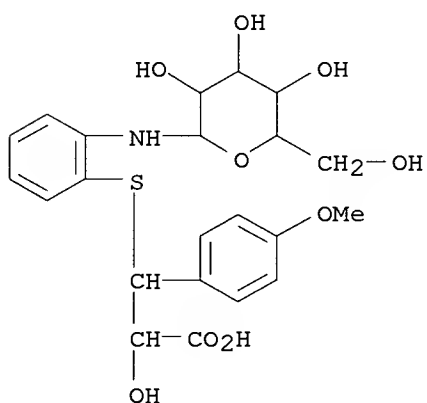


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1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:233780

L4 ANSWER 5 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 147364-23-6 REGISTRY  
CN Benzenepropanoic acid, .beta.-[[2-(D-glucopyranosylamino)phenyl]thio  
]-.alpha.-hydroxy-4-methoxy-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)  
MF C22 H27 N O9 S  
SR CA  
LC STN Files: CA, CAPLUS

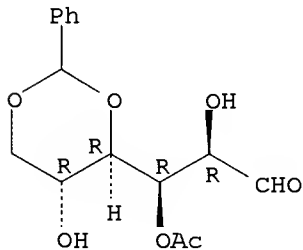


1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:233780

L4 ANSWER 6 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 146942-12-3 REGISTRY  
CN D-Glucose, 4,6-O-(phenylmethylene)-, 3-acetate (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C15 H18 O7  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

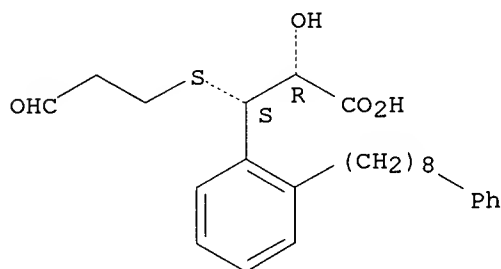


1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:192109

L4 ANSWER 7 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 140646-83-9 REGISTRY  
CN Benzenepropanoic acid, .alpha.-hydroxy-.beta.-[(3-oxopropyl)thio]-2-(8-phenyloctyl)-, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C26 H34 O4 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXLIT, USPATFULL

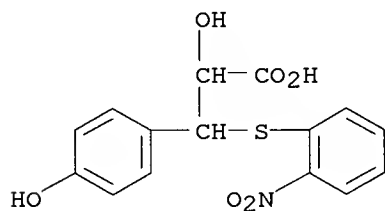
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:14418

L4 ANSWER 8 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 128305-69-1 REGISTRY  
CN Benzenepropanoic acid, .alpha.,4-dihydroxy-.beta.-[(2-nitrophenyl)thio]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C15 H13 N O6 S  
SR CA  
LC STN Files: CA, CAPLUS



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:76603

L4 ANSWER 9 OF 22 REGISTRY COPYRIGHT 1997 ACS

RN 127981-91-3 REGISTRY

CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [S-(R\*,R\*)]-, compd. with [S-(R\*,R\*)]-2-amino-1-[4-(methylthio)phenyl]-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,3-Propanediol, 2-amino-1-[4-(methylthio)phenyl]-, [S-(R\*,R\*)]-, [S-(R\*,R\*)]-.beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoate (salt) (9CI)

FS STEREOSEARCH

MF C16 H17 N O4 S . C10 H15 N O2 S

SR CA

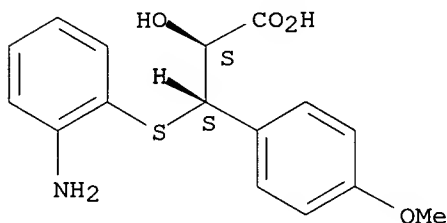
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 42399-48-4

CMF C16 H17 N O4 S

Absolute stereochemistry.

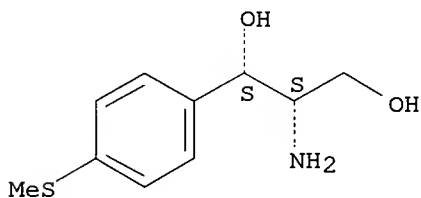


CM 2

CRN 16854-32-3

CMF C10 H15 N O2 S

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)

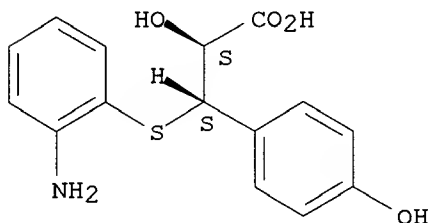
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:40161

L4 ANSWER 10 OF 22 REGISTRY COPYRIGHT 1997 ACS

RN 120433-69-4 REGISTRY  
 CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.,4-  
 dihydroxy-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C15 H15 N O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXLIT

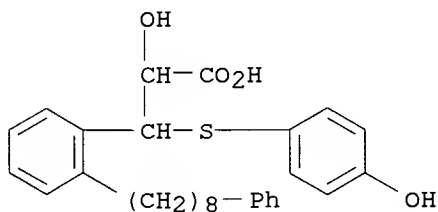
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 110:219194

L4 ANSWER 11 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 120427-55-6 REGISTRY  
 CN Benzenepropanoic acid, .alpha.-hydroxy-.beta.-[(4-  
 hydroxyphenyl)thio]-2-(8-phenyloctyl)- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C29 H34 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL



2 REFERENCES IN FILE CA (1967 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

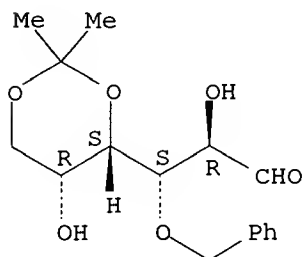
REFERENCE 1: 115:49105

REFERENCE 2: 110:212373

L4 ANSWER 12 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 112670-08-3 REGISTRY  
 CN D-Gulose, 4,6-O-(1-methylethylidene)-3-O-(phenylmethyl)- (9CI) (CA  
 INDEX NAME)  
 FS STEREOSEARCH

MF C16 H22 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

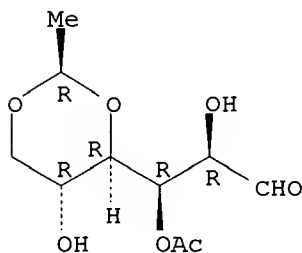


1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 108:75759

L4 ANSWER 13 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 105453-42-7 REGISTRY  
 CN D-Glucose, 4,6-O-ethylidene-, 3-acetate, (R)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C10 H16 O7  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

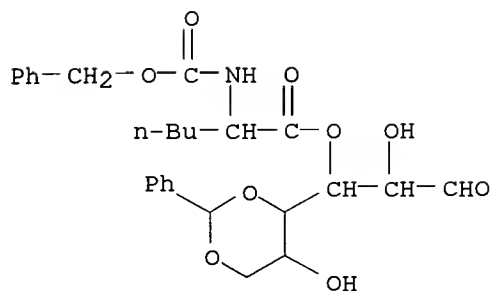
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 105:227147

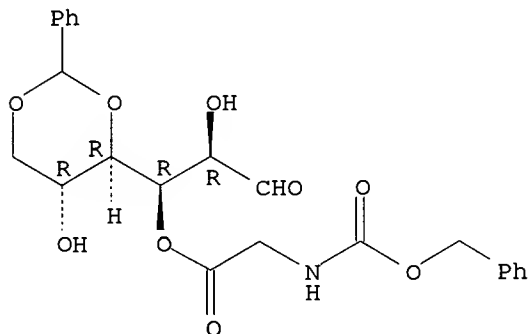
L4 ANSWER 14 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 103101-90-2 REGISTRY  
 CN D-Glucose, 4,6-O-benzylidene-, 3-ester with N-carboxy-DL-norleucine  
 N-benzyl ester (7CI) (CA INDEX NAME)  
 MF C27 H33 N O9  
 SR CAOLD  
 LC STN Files: CAOLD



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ANSWER 15 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 101173-91-5 REGISTRY  
 CN D-Glucose, 4,6-O-benzylidene-, 3-ester with N-carboxyglycine  
 N-benzyl ester (7CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C23 H25 N O9  
 SR CAOLD  
 LC STN Files: CAOLD

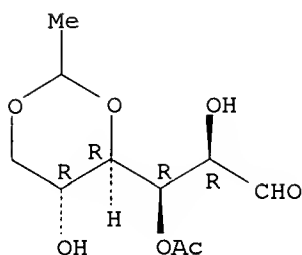
Absolute stereochemistry.



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ANSWER 16 OF 22 REGISTRY COPYRIGHT 1997 ACS  
 RN 100021-32-7 REGISTRY  
 CN D-Glucose, 4,6-O-ethylidene-, 3-acetate (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C10 H16 O7  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, CJACS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 104:110035

L4 ANSWER 17 OF 22 REGISTRY COPYRIGHT 1997 ACS

RN 96192-70-0 REGISTRY

CN Benzenepropanoic acid, .beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [R-(R\*,R\*)]-, compd. with (S)-2,6-diaminohexanal (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Hexanal, 2,6-diamino-, (S)-, compd. with [R-(R\*,R\*)]-.beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoic acid (1:1) (9CI)

FS STEREOSEARCH

MF C16 H14 Cl N O6 S . C6 H14 N2 O

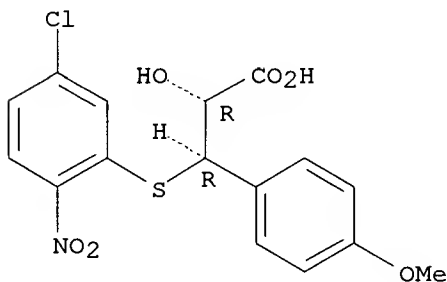
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 96125-23-4

CMF C16 H14 Cl N O6 S

Absolute stereochemistry.

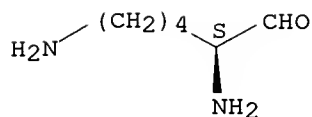


CM 2

CRN 21653-99-6

CMF C6 H14 N2 O

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

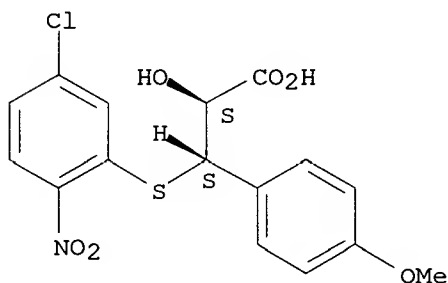
REFERENCE 1: 103:142026

L4 ANSWER 18 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 96192-69-7 REGISTRY  
CN Benzenepropanoic acid, .beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [S-(R\*,R\*)]-, compd. with (S)-2,6-diaminohexanal (1:1) (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Hexanal, 2,6-diamino-, (S)-, compd. with [S-(R\*,R\*)]-.beta.-[(5-chloro-2-nitrophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoic acid (1:1) (9CI)  
FS STEREOSEARCH  
MF C16 H14 Cl N O6 S . C6 H14 N2 O  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 96125-22-3  
CMF C16 H14 Cl N O6 S

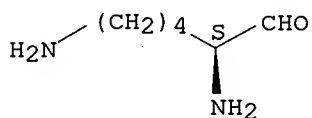
Absolute stereochemistry.



CM 2

CRN 21653-99-6  
CMF C6 H14 N2 O

Absolute stereochemistry.

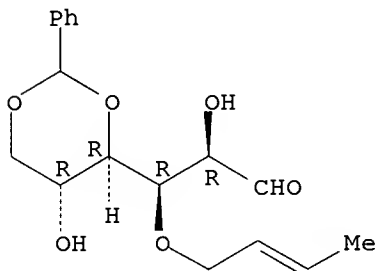


1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 103:142026

L4 ANSWER 19 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 83158-08-1 REGISTRY  
CN D-Glucose, 3-O-2-butenyl-4,6-O-(phenylmethylene)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C17 H22 O6  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.  
Double bond geometry unknown.

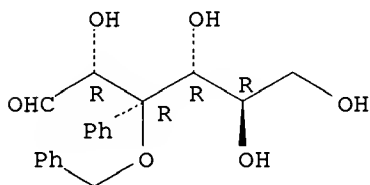


1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 97:145161

L4 ANSWER 20 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 75847-75-5 REGISTRY  
CN D-Allose, 3-C-phenyl-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C19 H22 O6

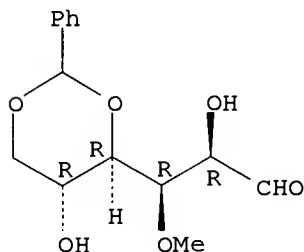
Absolute stereochemistry.



L4 ANSWER 21 OF 22 REGISTRY COPYRIGHT 1997 ACS  
RN 55651-99-5 REGISTRY  
CN D-Glucose, 3-O-methyl-4,6-O-(phenylmethylene)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C14 H18 O6

LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:149900

REFERENCE 2: 82:156596

L4 ANSWER 22 OF 22 REGISTRY COPYRIGHT 1997 ACS

RN 42399-56-4 REGISTRY

CN Benzenepropanoic acid, .beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxy-, [R-(R\*,R\*)]-, compd. with (R)-4-[1-hydroxy-2-(methylamino)ethyl]-1,2-benzenediol (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,2-Benzenediol, 4-[1-hydroxy-2-(methylamino)ethyl]-, (R)-, [R-(R\*,R\*)]-.beta.-[(2-aminophenyl)thio]-.alpha.-hydroxy-4-methoxybenzenepropanoate (salt) (9CI)

FS STEREOSEARCH

MF C16 H17 N O4 S . C9 H13 N O3

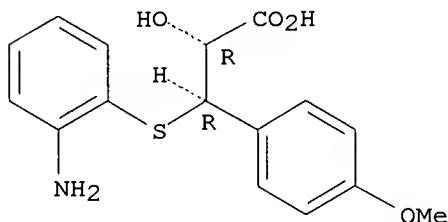
LC STN Files: CA, CAPLUS

CM 1

CRN 42399-50-8

CMF C16 H17 N O4 S

Absolute stereochemistry.

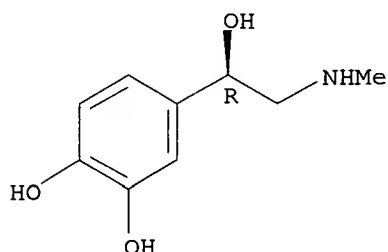


CM 2

CRN 51-43-4

CMF C9 H13 N O3

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 79:66331

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 09:51:53 ON 22 MAY 1997  
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FILE COVERS 1967 - 22 May 1997 VOL 126 ISS 21  
FILE LAST UPDATED: 22 May 1997 (970522/ED)

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=> d his 15

(FILE 'REGISTRY' ENTERED AT 09:50:12 ON 22 MAY 1997)

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FILE 'HCAPLUS' ENTERED AT 09:50:46 ON 22 MAY 1997

L5 18 S L4

=> d .ca 15 1-18

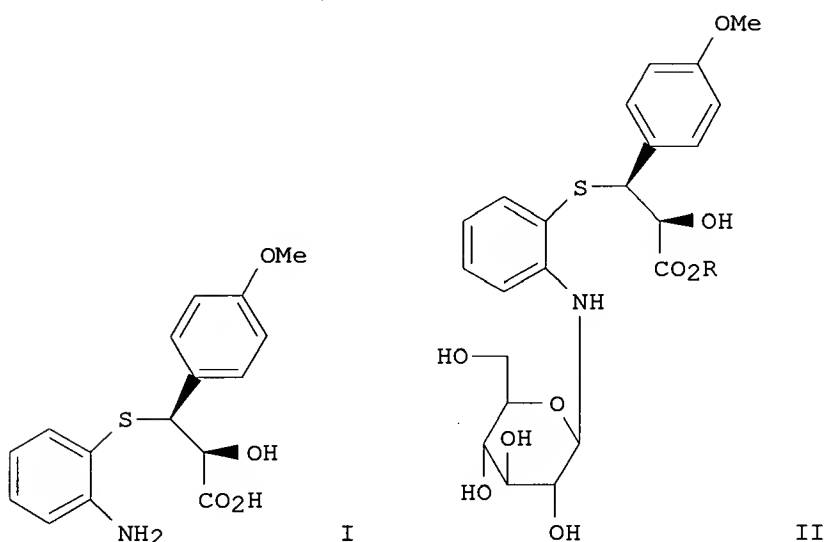
L5 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1995:356912 HCAPLUS

DN 122:160270

TI Method for preparation of D-threo-2-hydroxy-3-(2-aminophenylthio)-3-

IN (4-methoxyphenyl)propionic acid via resolution as an N-glycoside  
 Gryniewicz, Grzegorz; Gawronski, Jacek; Malinowska, Iwona;  
 Palanowski, Ryszard  
 PA Instytut Farmaceutyczny, Pol.  
 SO Pol., 4 pp.  
 CODEN: POXXA7  
 PI PL 162457 B1 931231  
 AI PL 90-284716 900410  
 DT Patent  
 LA Polish  
 OS CASREACT 122:160270  
 GI



AB Title acid D-I, an intermediate for the drug diltiazem, is prepd. by a new method. The method involves reaction of racemic D,L-I or its esters with D-glucose to form N-glycoside derivs., which are sepd. by crystn. to give optically pure glycosides D-II (R = H, Me, Et). The latter undergo acid hydrolysis of the glycoside and alk. hydrolysis of the ester, if present, by known methods, giving D-I. For example, a mixt. of 16.0 g D,L-I, 13.5 g D-glucose, and 3 mL AcOH in 100 mL MeOH was heated at the b.p. for 1/2 h and cooled to give cryst. D-II (R = H). This was hydrolyzed by dil. aq. HCl (pH 2) at 50.degree., and the mixt. neutralized to pH 3-4, to give 6.7 g (42% of racemate) D-I. In several addnl. examples, also using esters of D,L-I as starting materials, yields of glycosides were typically 40-45%, and hydrolysis yields were typically 80-90%.

IT **161023-68-3P 161023-70-7P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

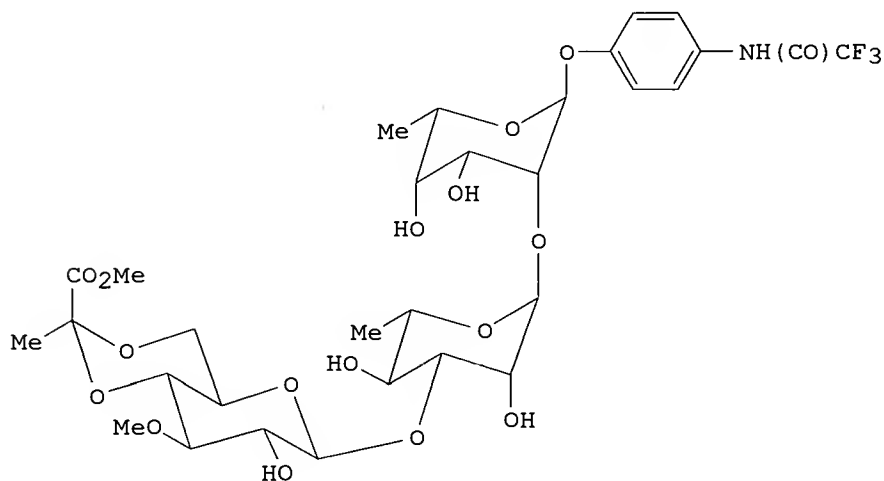
(intermediate; resoln. of threo-hydroxy(aminophenylthio) (methoxyphenyl)propionic acid via N-glycosides)

IC ICM C07C323-63

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 33

IT 139748-71-3P 160949-69-9P **161023-68-3P**  
**161023-70-7P**  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (intermediate; resoln. of threo-hydroxy(aminophenylthio) (methoxyp henyl)propionic acid via N-glycosides)

L5 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1994:509442 HCAPLUS  
 DN 121:109442  
 TI Chemical synthesis of the pyruvic acetal-containing trisaccharide unit of the species-specific glycopeptidolipid from Mycobacterium avium serovar 8  
 AU Bajza, Istvan; Kerekgyarto, Janos; Hajko, Janos; Szilagyi, Laszlo; Liptak, Andras  
 CS Inst. Biochem., Lajos Kossuth Univ., Debrecen, H-4010, Hung.  
 SO Carbohydr. Res. (1994), 253, 111-20  
 CODEN: CRBRAT; ISSN: 0008-6215  
 DT Journal  
 LA English  
 OS CJELSEVIER  
 GI



AB The functionalized, pyruvic acetal-contg. haptenic trisaccharide I, a component of the glycolipid from Mycobacterium avium serovar 8 was synthesized.

IT **156626-51-6P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and reaction of, in synthesis of pyruvic acetal-contg. trisaccharide unit of glycopeptidolipid of Mycobacterium avium)

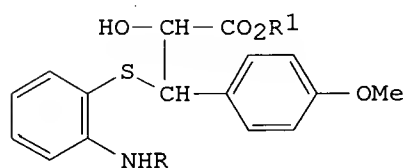
CC 33-4 (Carbohydrates)  
 Section cross-reference(s): 34

IT 30694-99-6P 59054-68-1P 156626-35-6P 156626-36-7P  
 156626-37-8P 156626-38-9P 156626-39-0P 156626-40-3P  
 156626-41-4P 156626-42-5P 156626-43-6P 156626-44-7P  
 156626-45-8P 156626-46-9P 156626-47-0P 156626-48-1P

156626-49-2P **156626-51-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and reaction of, in synthesis of pyruvic acetal-contg.  
 trisaccharide unit of glycopeptidolipid of Mycobacterium avium)

L5 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1993:233780 HCAPLUS  
 DN 118:233780  
 TI New optically active intermediates in the synthesis of diltiazem  
 AU Malinowska, Iwona; Eksanow, Kamil; Dabrowska, Jolanta; Jahn, Wanda;  
 Jakubowski, Witold  
 CS Pharm. Res. Inst., Warsaw, 01793, Pol.  
 SO Acta Pol. Pharm. (1991), 48(3-4), 47-50  
 CODEN: APPHAX; ISSN: 0001-6837  
 DT Journal  
 LA English  
 GI



AB The racemate of the propionic acid deriv. I (R = H; R1 = H) refluxed with D-glucose in MeOH/AcOH yielded 40% (2S,3S)-I (R = glucopyranosyl, R1 = H, II), which upon acid hydrolysis gave (2S,3S)-I (R = H, R1 = H) (III). (2S,3S)-I (R = glucopyranosyl, R1 = Et) (IV) was obtained analogously and then converted by alk. hydrolysis into the Na salt of II (V) and by acid hydrolysis into III. IV and Ac2O yielded 81% (2S,3S)-I (R = Ac, R1 = Et) subsequently hydrolyzed with NaOH to (2S,3S)-I (R = Ac, R1 = Et). V and Ac2O in DMF-C5H5N gave the O-Ac deriv. of (2S,3S)-I (R = tetraacetylglucopyranosyl, R1 = H), hydrolyzed to (2S,3S)-I (R = Ac, R1 = H).

IT **147511-68-0**

RL: RCT (Reactant))

IT **147364-23-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as chiral intermediate for diltiazem)

CC 27-1 (Heterocyclic Compounds (One Hetero Atom))

IT **147511-68-0**

RL: RCT (Reactant)

IT 42399-48-4P 125411-72-5P **147364-23-6P** 147364-24-7P

147364-25-8P 147511-67-9P

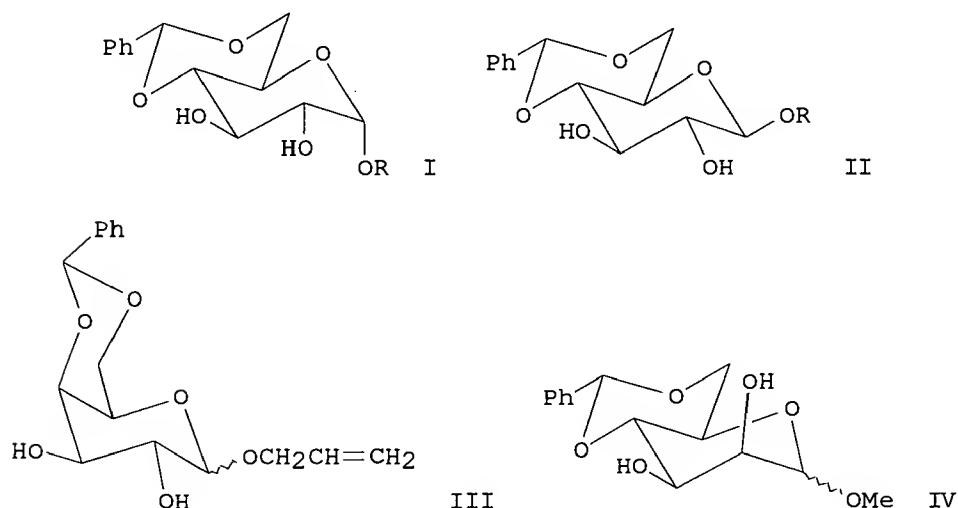
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as chiral intermediate for diltiazem)

L5 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1993:192109 HCAPLUS

DN 118:192109

TI Selective acylation of 4,6-O-benzylidene glycopyranosides by enzymic catalysis  
 AU Panza, Luigi; Luisetti, Monica; Crociati, Emanuela; Riva, Sergio  
 CS Cent. Stud. Sost. Org. nat., CNR, Milan, 20133, Italy  
 SO J. Carbohydr. Chem. (1993), 12(1), 125-30  
 CODEN: JCACDM; ISSN: 0732-8303  
 DT Journal  
 LA English  
 OS CASREACT 118:192109  
 GI



AB Benzylidene glycosides I-IV (R = Me, allyl) were regioselectively acylated with CF<sub>3</sub>CH<sub>2</sub>O<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>Me or AcOCH<sub>2</sub>CH<sub>2</sub> in the presence of lipase PS from *Pseudomonas cepacia*.

IT **146942-12-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, regioselectivity in)

CC 33-3 (Carbohydrates)

IT 98392-36-0P 107657-07-8P 130464-35-6P 141611-58-7P  
 141611-59-8P 144607-27-2P 144607-28-3P 146942-00-9P  
 146942-01-0P 146942-02-1P 146942-03-2P 146942-04-3P  
 146942-05-4P 146942-06-5P 146942-07-6P 146942-09-8P  
 146942-11-2P **146942-12-3P** 146942-13-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, regioselectivity in)

L5 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1992:414418 HCAPLUS

DN 117:14418

TI Antiallergic compositions containing platelet-activating factor antagonists and leukotriene D<sub>4</sub> antagonists

IN O'Donnell, Margaret; Welton, Ann

PA Hoffmann-La Roche, F., A.-G., Switz.

SO Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 PI EP 469477 A1 920205  
 DS R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE  
 AI EP 91-112577 910726  
 PRAI US 90-561743 900802  
 DT Patent  
 LA English  
 AB A synergistic combination of platelet activating factor (PAF) antagonists with leukotriene D4 (LTD4) antagonists provides protection against allergic reactions, such as antigen-induced death. Guinea pigs were sensitized with an i.p. injection of ovalbumin in a saline soln. and administered with a combination of 5-[3-[4-(2-chlorophenyl)-9-methyl-6H-thieno[3,2-f]1,2,4]triazolo[4,3-a][1,4]diazepin-2-yl]-2-propynyl]phenanthridin-6(5H)-one (I) (PAF antagonist) and (E)-4-[3-[2-(4-cyclobutyl-2-thiazolyl)ethenyl]phenylamino]-2,2-diethyl-4-oxobutanoic acid (II) (LTD4 antagonist) at 1 mg/kg each before challenge with antigen; a survival rate from anaphylactic death at 120 min was 100 %, compared to 0 % for groups administered with I or II alone. Formulations contg. I and II combinations are given.

IT **140646-83-9D**, mixts. with platelet-activating factor antagonists  
 RL: BIOL (Biological study)  
 (antiallergic compns. contg.)

IC ICM A61K031-55  
 ICS A61K031-44

ICI A61K031-55, A61K031-425; A61K031-44, A61K031-425

CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1

IT 50847-11-5D, mixts. with platelet-activating factor antagonists  
 96566-25-5D, mixts. with platelet-activating factor antagonists  
 98116-53-1D, mixts. with platelet-activating factor antagonists  
 98193-06-7D, mixts. with platelet-activating factor antagonists  
 103176-67-6D, mixts. with platelet-activating factor antagonists  
 103177-37-3D, mixts. with platelet-activating factor antagonists  
 104073-72-5D, mixts. with platelet-activating factor antagonists  
 105350-26-3D, mixts. with platelet-activating factor antagonists  
 106556-34-7D, mixts. with leukotriene D4 antagonists 111974-60-8D,  
 mixts. with platelet-activating factor antagonists 115621-84-6D,  
 mixts. with leukotriene D4 antagonists 116289-53-3D, mixts. with  
 leukotriene D4 antagonists 116781-15-8D, mixts. with leukotriene  
 D4 antagonists 116953-66-3D, mixts. with leukotriene D4  
 antagonists 117796-52-8D, mixts. with leukotriene D4 antagonists  
 118314-35-5D, mixts. with platelet-activating factor antagonists  
 120128-20-3D, mixts. with platelet-activating factor antagonists  
 120555-31-9D, mixts. with leukotriene D4 antagonists 122009-61-4D,  
 mixts. with platelet-activating factor antagonists 128312-51-6D,  
 mixts. with platelet-activating factor antagonists 140634-85-1D,  
 mixts. with leukotriene D4 antagonists 140634-87-3 140634-88-4  
 140634-89-5 140634-90-8 140646-77-1D, mixts. with leukotriene D4  
 antagonists 140646-78-2D, mixts. with platelet-activating factor  
 antagonists 140646-79-3D, mixts. with platelet-activating factor  
 antagonists 140646-80-6D, mixts. with platelet-activating factor  
 antagonists 140646-81-7D, mixts. with platelet-activating factor  
 antagonists 140646-82-8D, mixts. with platelet-activating factor  
 antagonists **140646-83-9D**, mixts. with platelet-activating

factor antagonists 140646-84-0D, mixts. with platelet-activating  
 factor antagonists 140646-85-1D, mixts. with platelet-activating  
 factor antagonists 140667-05-6 140667-06-7 140667-07-8  
 140667-72-7D, mixts. with leukotriene D4 antagonists 140709-00-8D,  
 mixts. with leukotriene D4 antagonists 140852-24-0D, mixts. with  
 leukotriene D4 antagonists 141897-51-0D, mixts. with leukotriene  
 D4 antagonists 141924-18-7D, mixts. with leukotriene D4  
 antagonists 141980-55-4D, mixts. with leukotriene D4 antagonists  
 RL: BIOL (Biological study)  
 (antiallergic compns. contg.)

L5 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1991:449105 HCAPLUS

DN 115:49105

TI Leukotriene antagonists

IN Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd

PA SmithKline Beckman Corp., USA

SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

PI EP 403249 A1 901219

DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

AI EP 90-306438 900613

PRAI US 89-366046 890614

DT Patent

LA English

OS MARPAT 115:49105

AB RS(O)mCHR1C6H4R2-2 [I; R = aryl, aralkyl, etc.; m = 0, 2; R2 =  
 CHX(CH2)nZ; X = OH, alkoxy; n = 0, 1, 2; Z = CO2H, CONH2,  
 tetrazolyl, etc.; R2 = alkyl, alkoxy, aralkyl, etc.] were prep'd. for  
 the treatment of asthma. Thus, 8-phenyloctanoic acid was converted,  
 via the alc. and bromide, to 2-[2-(8-phenyloctyl)phenyl]-4,4-  
 dimethyloxazoline, which was quaternized and reduced to give  
 2-(8-phenyloctyl)benzaldehyde (II). Reaction of II with ClCH2CO2Me  
 gave Me trans-3-[2-(8-phenyloctyl)phenyl]-2,3-epoxypropionate, which  
 reacted with 2-mercaptobenzoic acid to give Me 2-hydroxy-3-[(2-  
 carboxyphenyl)thio]-3-[2-(8-phenyloctyl)phenyl]propionate; sapon. of  
 this ester gave 2-HO2CC6H4SCH[CH(OH)CO2H]C6H4(CH2)8Ph-2. Several I  
 showed biosignificant activity against leukotriene D4 in contraction  
 tests with guinea pig tracheal tissue in vitro.

IT **120427-55-6P**

RL: BAC (Biological activity or effector, except adverse); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (prepn. of, as leukotriene antagonist)

IC ICM C07C317-46

ICS C07C323-62; C07C323-56; C07D311-24; A61K031-19; A61K031-215;  
 A61K031-35

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1

IT 107023-41-6P **120427-55-6P** 120427-56-7P 120427-58-9P

120427-59-0P 120427-60-3P 120427-61-4P 120427-62-5P

120427-63-6P 120427-64-7P 120427-65-8P 120427-66-9P

120427-67-0P 120427-68-1P 120457-38-7P 134511-28-7P

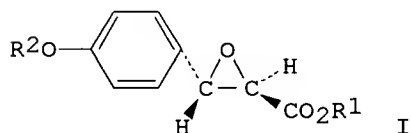
134511-29-8P 134511-30-1P 134511-31-2P 134511-32-3P

134511-33-4P 134511-34-5P 134511-35-6P 134590-76-4P

RL: BAC (Biological activity or effector, except adverse); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)  
(prepn. of, as leukotriene antagonist)

L5 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
AN 1990:476603 HCAPLUS  
DN 113:76603.  
TI Enzymic resolution of racemic phenylglycidic acid esters in the  
manufacture of diltiazem  
IN Hulshof, Lumbertus Albregt; Roskam, Jan Hendrik  
PA Stamicarbon B. V., Neth.  
SO Eur. Pat. Appl., 9 pp.  
CODEN: EPXXDW  
PI EP 343714 A1 891129  
DS R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE  
AI EP 89-201236 890517  
PRAI NL 88-1311 880520  
DT Patent  
LA English  
OS MARPAT 113:76603  
GI



AB Racemic phenylglycidate esters ((I), R1 = alkyl; R2 = H, alkyl) used  
as intermediates in the synthesis of the vasodilator diltiazem are  
stereospecifically hydrolyzed by microbial hydrolases. The (2R, 3S)  
ester that remains is then derivatized with an oxirane ring-opening  
reagent for further processing. Racemic trans-Et (p-methoxyphenyl)  
glycidate at 99% e.e was recovered.

IT **128305-69-1P**

RL: PREP (Preparation)  
(optically pure, prepn. of, enzymic resoln. of racemic  
phenylglycidic acid esters for, diltiazem synthesis in relation  
to)

IC ICM C12P041-00

ICS C12P017-02; C07D303-48; C07D281-10

CC 16-2 (Fermentation and Bioindustrial Chemistry)

IT **128305-69-1P**

RL: PREP (Preparation)  
(optically pure, prepn. of, enzymic resoln. of racemic  
phenylglycidic acid esters for, diltiazem synthesis in relation  
to)

L5 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 1997 ACS

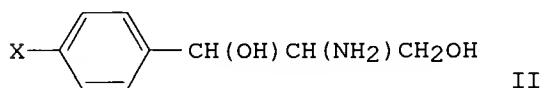
AN 1990:440161 HCAPLUS

DN 113:40161

TI Preparation of (2S,3S)-threo-2-hydroxy-3-[(2-aminophenyl)thio]-3-(4-  
methoxyphenyl)propionic acid as an intermediate for the synthesis of  
diltiazem by optical resolution

IN Giordano, Claudio; Merli, Valeriano; Sagramora, Giorgio; Soriato,

Giorgio  
 PA Zambon Group S.p.A., Italy  
 SO Eur. Pat. Appl., 5 pp.  
 CODEN: EPXXDW  
 PI EP 353538 A2 900207  
 DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE  
 AI EP 89-113135 890718  
 PRAI IT 88-21478 880726  
 DT Patent  
 LA English  
 OS MARPAT 113:40161  
 GI



AB The title compd. (I) is sepd. from its racemic mixt. by using the diol II (X = H, MeS, O<sub>2</sub>N, MeSO<sub>2</sub>) in the molar ratio of 0.5 with respect to the mixt. to be resolved. Racemic-I was treated with (1S,2S)-II (X = Me) to give the appropriate salt which was dild. in H<sub>2</sub>O and treated with HCl to give I.

IT **127981-91-3P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and decompn. of)

IC ICM C07C323-36  
 ICS C07C319-28; C07B057-00

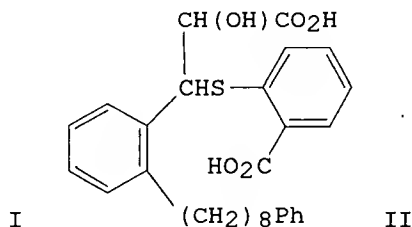
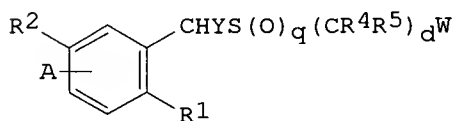
CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

IT **127981-91-3P** 128001-76-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and decompn. of)

L5 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1989:219194 HCAPLUS  
 DN 110:219194  
 TI High-performance liquid chromatography method for assay of diltiazem hydrochloride and its related compounds in bulk drug and finished tablets

AU Lacroix, Pauline M.; Beaulieu, Normand; Cyr, Terry D.; Lovering, Edward G.  
 CS Bur. Drug Res., Health Prot. Branch, Ottawa, ON, K1A 0L2, Can.  
 SO J. Pharm. Sci. (1989), 78(3), 243-6  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DT Journal  
 LA English  
 AB trans-Diltiazem and 7 known and several unknown related compds. were sepd. from diltiazem-HCl by HPLC. Min. detectable amts. were <0.1%, except for an intermediate which originates early in the synthetic process, for which the sensitivity is .apprx.2%. The relative std. deviation of the assay procedure is 0.15%. Total related compds. in 4 bulk drug and 4 tablet samples were <0.25%. The sp. rotation of 4 samples of diltiazem-HCl analyzed in duplicate was between +112 and +114.degree.. The UV absorption spectra of all compds. exhibited 2 max., one between 203 and 213 nm and the other between 230 and 244

nm.  
 IT **120433-69-4**  
 RL: PROC (Process)  
 (sepn. of, from diltiazem, by HPLC)  
 CC 64-3 (Pharmaceutical Analysis)  
 Section cross-reference(s): 63  
 IT 42399-40-6 42399-49-5 42399-55-3 84056-02-0 84645-12-5  
 84645-13-6 **120433-69-4**  
 RL: PROC (Process)  
 (sepn. of, from diltiazem, by HPLC)  
 L5 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1989:212373 HCAPLUS  
 DN 110:212373  
 TI Preparation of 2-hydroxy-3-[(carboxyphenyl)thio]propionic acids and  
 analogs as leukotriene antagonists  
 IN Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd  
 PA SmithKline Beckman Corp., USA  
 SO Eur. Pat. Appl., 45 pp.  
 CODEN: EPXXDW  
 PI EP 296732 A1 881228  
 DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE  
 AI EP 88-305188 880607  
 PRAI US 87-66588 870624  
 DT Patent  
 LA English  
 OS MARPAT 110:212373  
 GI



AB The title compds. [I; A = H, C1-4 alkyl, C1-4 alkoxy, halo, OH, NO<sub>2</sub>, NH<sub>2</sub>; R<sup>1</sup> = H, MTc(CH<sub>2</sub>)<sub>b</sub>La (Q); R<sup>2</sup> = A, Q; R<sup>4</sup>, R<sup>5</sup> = H, C1-4 alkyl; L, T = O, S; M = C1-4 alkyl, CF<sub>3</sub>, HC.tplbond.C, CH<sub>2</sub>:CMe, furanyl, thienyl, cyclohexyl, (un)substituted Ph; W = 2-carboxy-4-oxo-8-propyl-4H-1-benzopyran-7-yl, (un)substituted Ph, pyridinyl, pyrimidinyl; Y = R<sub>3</sub>CO, Z(CH<sub>2</sub>)<sub>p</sub>(CHX)<sub>n</sub>; R<sub>3</sub> = C1-6 alkoxy, aryloxy, OH, NH<sub>2</sub>; X = H, C1-4 alkyl, C1-4 alkoxy, OH, F; Z = R<sub>3</sub>CO, tetrazolyl; a, c, n, = 0, 1; b = 3-14; d = 0-6; p, q = 0-2] and their pharmaceutically acceptable salts were prepd. as leukotriene antagonists. HO(CH<sub>2</sub>)<sub>4</sub>CH.tplbond.CH was esterified with 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl and treated with PhC.tplbond.CH to give PhC.tplbond.C(CH<sub>2</sub>)<sub>4</sub>C.tplbond.CH. The latter was arylated with 2-BrC<sub>6</sub>H<sub>4</sub>CHO and the product was hydrogenated to give 2-(8-phenyloctyl)benzaldehyde which was condensed with ClCH<sub>2</sub>CO<sub>2</sub>Me in

the presence of NaOMe to give Me trans-2,3-epoxy-3-[2-(8-phenyloctyl)phenyl]propionate. The latter was treated with 2-HSC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H in MeOH in the presence of Et<sub>3</sub>N and the product saponified to give title compd. II. II inhibited leukotriene-induced contraction of guinea pig tracheal tissue preps. with -log KB of 5.5. An aerosol soln. for nebulizer use was prepd. from 1-10 mg II and isotonic saline soln.

IT **120427-55-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as leukotrienes antagonist)

IC ICM C07C149-40

ICS C07C149-273; C07C149-36; A61K031-19

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1

IT **120427-55-6P** 120427-56-7P 120427-57-8P 120427-58-9P  
120427-59-0P 120427-60-3P 120427-61-4P 120427-62-5P  
120427-63-6P 120427-64-7P 120427-65-8P 120427-66-9P  
120427-67-0P 120427-68-1P 120427-69-2P 120427-70-5P  
120427-71-6P 120457-38-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as leukotrienes antagonist)

L5 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1988:549900 HCAPLUS

DN 109:149900

TI The selective monobenzyldienation of some monosaccharides and their derivatives with .alpha.,.alpha.-dimethoxytoluene

AU Patroni, Joseph J.; Stick, Robert V.; Skelton, Brian W.; White, Allan H.

CS Sch. Chem., Univ. West. Australia, Nedlands, 6009, Australia

SO Aust. J. Chem. (1988), 41(1), 91-102

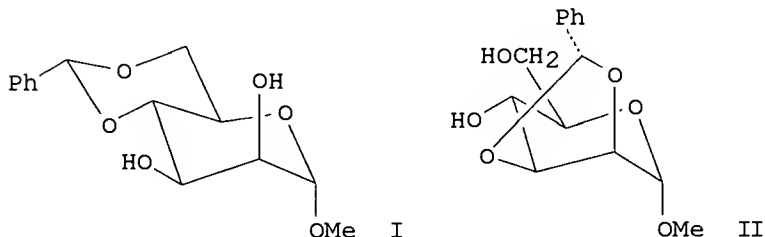
CODEN: AJCHAS; ISSN: 0004-9425

DT Journal

LA English

OS CASREACT 109:149900

GI



AB The treatment of a no. of monosaccharides and their derivs. with .alpha.,.alpha.-dimethoxytoluene and an acid catalyst in DMF at about 80.degree. can lead to selective benzyldienation, e.g., Me .alpha.-D-mannopyranoside gives mainly Me 4,6-O-benzyldiene-.alpha.-D-mannoside (I), together with 2 other minor 2,3-monobenzyldiene

derivs. and 2 minor 2,3:4,6-dibenzylidene derivs. The treatment of various other pyranoses and pyranosides is also described. In addn. a <sup>1</sup>H NMR study of the acid transformation of some of the above .alpha.-D-mannosides is reported, together with the single-crystal x-ray diffraction structure of Me (S)-2,3-O-benzylidene-.alpha.-D-mannopyranoside (II).

IT **55651-99-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

CC 33-3 (Carbohydrates)

Section cross-reference(s): 75

IT 3162-96-7P 4288-93-1P 14086-06-7P 14155-23-8P 17063-22-8P

30688-66-5P 40653-36-9P 40653-37-0P **55651-99-5P**

73395-15-0P 85761-43-9P 116562-85-7P 116562-86-8P

116562-87-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L5 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1988:75759 HCAPLUS

DN 108:75759

TI Thioglycosides of N-acetylneuraminic acid. Part 4. Synthesis of 3-S-(5-acetamido-3,5-dideoxy-D-glycero-.alpha.-D-galacto-2-nonulopyranosylonic acid)-3-thio-galactopyranose derivatives

AU Kanie, Osamu; Nakamura, Junko; Itoh, Yukiyasu; Kiso, Makoto; Hasegawa, Akira

CS Dep. Agric. Chem., Gifu Univ., Gifu, 501-11, Japan

SO J. Carbohydr. Chem. (1987), 6(1), 117-28

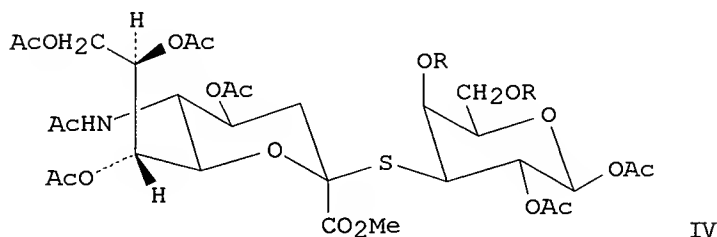
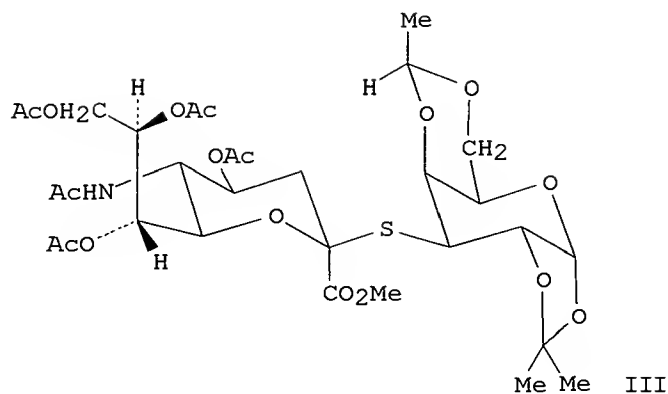
CODEN: JCACDM; ISSN: 0732-8303

DT Journal

LA English

OS CASREACT 108:75759

GI



AB 3-S-.alpha.-D-Neuraminyl-(2.fwdarw.3)-D-galactose derivs. were prepd. As the glycosyl acceptors, 4,6-O-ethylidene-1,2-O-isopropylidene-3-O-trifluoromethanesulfonyl-.alpha.-D-gulopyranose (I) and 1,2-di-O-acetyl-4,6-O-isopropylidene-3-O-trifluoromethanesulfonyl-.beta.-D-gulopyranose (II) were prepd. from 4,6-O-ethylidene-1,2-O-isopropylidene-.alpha.-D-galactopyranose in several steps. Condensation of I or II with the sodium salt of Me 5-acetamido-4,7,8,9-tetra-O-acetyl-3,5-dideoxy-2-thio-D-glycero-.alpha.-D-galacto-2-nonulopyranosonate gave the corresponding 3-S-(N-acetyl-.alpha.-D-neuraminyl)-3-thio-D-galactose derivs. III and IV (R<sub>2</sub> = Me<sub>2</sub>C). The latter was converted, via O-deisopropylidenation and subsequent acetylation, into the desired product IV (R = Ac).

IT **112670-08-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetylation of)

CC 33-8 (Carbohydrates)

IT **112670-08-3P** 112670-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetylation of)

L5 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1986:627147 HCAPLUS

DN 105:227147

TI Studies in sugar chemistry. Part III. Regioselective heterogeneous O-deacylation of polyacetylated sugars

AU Herzig, Jacob; Nudelman, Abraham

CS Teva Pharm. Ind. Ltd., Petach Tiqwa, Israel

SO Carbohydr. Res. (1986), 153(1), 162-7

CODEN: CRBRAT; ISSN: 0008-6215

DT Journal

LA English

OS CASREACT 105:227147

AB Methanolysis of polyacylated sugars is catalyzed by MgO or Al<sub>2</sub>O<sub>3</sub>. MgO is a mild, nonselective deacylating agent, whereas the reactivity of Al<sub>2</sub>O<sub>3</sub> may be modulated. By choosing the appropriate catalyst and conditions, deacylation at the anomeric position may be readily effected regioselectively. Thus, MgO-catalyzed methanolysis of 1,2,3-tri-O-acetyl-4,6-O-ethylidene- $\beta$ -D-glucopyranose (I) 30 min at room temp. gave 93% 4,6-O-ethylidene-D-glucopyranose whereas Al<sub>2</sub>O<sub>3</sub>-catalyzed methanolysis of I 10h at 60.degree. gave 61% 2,3-di-O-acetyl-4,6-O-ethylidene-D-glucopyranose.

IT **105453-42-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, by O-deacylation, catalysts for)

CC 33-1 (Carbohydrates)

IT 50-99-7P, preparation 57-50-1P, preparation 58-86-6P,  
preparation 709-50-2P 1824-94-8P 55018-54-7P 105453-33-6P  
105453-34-7P 105453-35-8P 105453-37-0P 105453-38-1P  
105453-39-2P 105453-40-5P 105453-41-6P **105453-42-7P**  
105453-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, by O-deacylation, catalysts for)

L5 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1986:110035 HCAPLUS

DN 104:110035

TI Studies in sugar chemistry. 2. A simple method for O-deacylation  
of polyacylated sugars

AU Herzig, Jacob; Nudelman, Abraham; Gottlieb, Hugo E.; Fischer, Bilha

CS Teva Pharm. Ind. Ltd., Petach Tiqva, Israel

SO J. Org. Chem. (1986), 51(5), 727-30

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 104:110035; CJACS

AB Total solvolytic O-deacylation of polyacylated sugars is readily  
accomplished upon stirring for 15 min-6 h a soln. of a sugar in MeOH  
in the presence of a catalytic amt. of cyanide. The reaction  
proceeds in high yields, under neutral conditions, at room temp.  
The overall rate of the reaction, readily followed by observing the  
changes in the 1H 300 MHz NMR spectra, is greatly influenced by the  
substituent at the anomeric position in the order of 1-OH .mchgt.  
1-OAc .mchgt..mchgt. 1-OR.

IT **100021-32-7**

RL: RCT (Reactant)  
(intermediate, in O-deacylation of, triacetyl deriv. with  
potassium cyanide and methanol)

CC 33-1 (Carbohydrates)

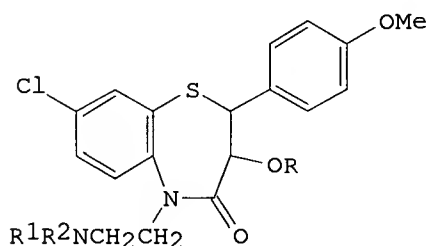
IT **100021-32-7** 100021-33-8

RL: RCT (Reactant)  
(intermediate, in O-deacylation of, triacetyl deriv. with  
potassium cyanide and methanol)

L5 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 1997 ACS

AN 1985:542026 HCAPLUS

DN 103:142026  
 TI 8-Chloro-1,5-benzothiazepine derivatives  
 IN Takeda, Mikio; Ohishi, Tokuro; Nakajima, Hiromichi; Nagao, Taku  
 PA Tanabe Seiyaku Co., Ltd. , Japan  
 SO Eur. Pat. Appl., 61 pp.  
 CODEN: EPXXDW  
 PI EP 127882 A1 841212  
 DS R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE  
 AI EP 84-106187 840530  
 PRAI GB 83-15364 830603  
 GB 84-983 840114  
 DT Patent  
 LA English  
 GI



AB Title compds. I (R = H, alkyl, acyl; R1, R2 = alkyl) were prepd. Thus, (+)-cis-2-(4-methoxyphenyl)-3-hydroxy-8-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-one, prepd. in 4 steps from 5,2-Cl(H2N)C6H3SH and Me (.-)-trans-3-(4-methoxyphenyl)glycidate, was alkylated with Me2NCH2CH2Cl.HCl to give (+)-cis-I (R = H, R1 = R2 = Me), which was acetylated with Ac2O/pyridine to give (+)-cis-I (R = Ac, R1 = R2 = Me) (II). II maleate at 30 mg/kg orally to spontaneously hypertensive rats decreased systolic blood pressure by .gtoreq.60 mm Hg at both 1 and 4 h after dosing. The cerebral vasodilating activity of II.HCl was 25-fold that of papaverine.

IT **96192-69-7P 96192-70-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and decompn. of)  
 IC C07D281-10; A61K031-55  
 CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))  
 IT 96054-28-3P 96054-30-7P **96192-69-7P 96192-70-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and decompn. of)

L5 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1982:545161 HCAPLUS  
 DN 97:145161  
 TI Amino sugars. 132. Preparation of a glycosyl chloride suitable for synthesis of N-glycoprotein "core" pentasaccharide  
 AU Liu, Charng Ming; Warren, Christopher D.; Blieszner, Kathleen C.; Jeanloz, Roger W.  
 CS Dep. Biol. Chem., Harvard Med. Sch., Boston, MA, 02114, USA

SO Carbohydr. Res. (1982), 104(2), C20-C22  
 CODEN: CRBRAT; ISSN: 0008-6215

DT Journal  
 LA English

AB 4-O-Benzyl-3-O-(2-butenyl)-6-O-(tert-butyldiphenylsilyl)-2-O-(p-nitrobenzoyl)-.alpha.-D-glycopyranosyl chloride, suitable for the synthesis of N-glycoprotein core pentasaccharide, was prepd. from 3-O-(2-butenyl)-D-glucose in 9 steps.

IT **83158-08-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetylation of)

CC 33-2 (Carbohydrates)

IT **83158-08-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetylation of)

L5 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1975:156596 HCAPLUS  
 DN 82:156596

TI Dibutylstannylene derivatives of sugar

AU David, Serge; Thieffry, Annie

CS Lab. Chim. Org. Multifonct., Univ. Paris-Sud, Orsay, Fr.

SO C. R. Hebd. Seances Acad. Sci., Ser. C (1974), 279(25), 1045-7  
 CODEN: CHDCAQ

DT Journal  
 LA French

GI For diagram(s), see printed CA Issue.

AB Seven diols I, .alpha.- and .beta.-II, III, (R = H) .alpha.- and .beta.-IV (R1 = R2 = H, R3 = Me; R1 = Me, R2 = R3 = H) were treated with Bu2SnO. The cis diols I and .alpha.-IV (R = R2 = H, R3 = Me) gave 30% of the dibutylstannylenes I (RR = SnBu2) and .alpha.-IV (RR2 = SnBu2, R3 = Me). The trans diols II, III, and .alpha.- and .beta.-IV (R1 = Me, R2 = R3 = H) gave 8-63% II and III (RR = SnBu2) and IV (R1 = Me, R2R3 = SnBu2).

IT **55651-99-5**  
 RL: RCT (Reactant) (reaction with dibutyltin oxide, stannylenes by)

CC 33-2 (Carbohydrates)

IT 3162-96-7 10368-81-7 14155-23-8 53429-46-2 **55651-99-5**  
 55700-61-3 55700-62-4  
 RL: RCT (Reactant) (reaction with dibutyltin oxide, stannylenes by)

L5 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 1997 ACS  
 AN 1973:466331 HCAPLUS  
 DN 79:66331

TI Synthesis of 1,5-benzothiazepine derivatives. IV. Resolution of dl-cis-3-acetoxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(p-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one hydrochloride

AU Inoue, Hirozumi; Takeo, Satoshi; Kawazu, Mitsutaka; Kugita, Hiroshi

CS Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda, Japan

SO Yakugaku Zasshi (1973), 93(6), 729-32  
 CODEN: YKKZAJ

DT Journal  
 LA Japanese

GI For diagram(s), see printed CA Issue.

AB Prepn. by cyclization of cinchonidine-resolved I of

(+)-cis-3-acetoxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(p-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one [(+)-II].HCl with potent coronary vasodilatory activity is described. Attempted resolution of II with various optically active acids was unsuccessful.

IT **42399-56-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

CC 28-24 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 107-99-3P 33286-22-5P 42399-40-6P 42399-41-7P 42399-44-0P  
42399-45-1P 42399-46-2P 42399-47-3P 42399-48-4P 42399-49-5P  
42399-50-8P 42399-51-9P 42399-53-1P 42399-54-2P  
**42399-56-4P** 42399-57-5P 42489-24-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

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FILE 'REGISTRY' ENTERED AT 09:51:12 ON 22 MAY 1997

FILE 'HCAPLUS' ENTERED AT 09:51:53 ON 22 MAY 1997

FILE 'CAOLD' ENTERED AT 09:52:37 ON 22 MAY 1997

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L6 ANSWER 1 OF 3 COPYRIGHT 1997 ACS

AN CA58:9223c CAOLD

IT 100323-59-9 **103101-90-2** 106740-81-2

L6 ANSWER 2 OF 3 COPYRIGHT 1997 ACS

AN CA58:1531g CAOLD

IT 98693-62-0 **101173-91-5** 105042-76-0

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L6 ANSWER 3 OF 3 COPYRIGHT 1997 ACS  
AN CA57:11292c CAOLD  
IT 101173-91-5 103101-90-2